

09/806,335

STN-Structure Search
11-1-04

=> d ibib abs hitstr 1-8

L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:767328 CAPLUS

DOCUMENT NUMBER: 138:378535

TITLE: Highly potent non-peptidic inhibitors of the HCV
NS3/NS4A serine protease

AUTHOR(S): Sperandio, David; Gangloff, Anthony R.; Litvak, Joane;
Goldsmith, Richard; Hataye, Jason M.; Wang, Vivian R.;
Shelton, Emma J.; Elrod, Kyle; Janc, James W.; Clark,
James M.; Rice, Ken; Weinheimer, Steve; Yeung,
Kap-Sun; Meanwell, Nicholas A.; Hernandez, Dennis;
Staab, Andrew J.; Venables, Brian L.; Spencer, Jeffrey
R.

CORPORATE SOURCE: Celera, South San Francisco, CA, 94080, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2002),
12(21), 3129-3133

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:378535

AB Screening of a diverse set of bisbenzimidazoles for inhibition of the
hepatitis C virus (HCV) serine protease NS3/NS4A led to the identification
of a potent Zn²⁺-dependent inhibitor. Optimization of this screening hit
afforded a 10-fold more potent inhibitor under Zn²⁺ conditions (K_i=27 nM).
This more potent compound binds also to NS3/NS4A in a Zn²⁺ independent
fashion (K_i=1 μM). The structure-activity relationship (SAR) of this
class of compds. under Zn²⁺ conditions is highly divergent compared to the
SAR in the absence of Zn²⁺, suggesting two distinct binding modes.

IT 263870-19-5, CRA 6336 263870-33-3 263870-43-5

524940-00-9 524940-02-1 524940-04-3

524940-07-6 524940-10-1 524940-11-2

524940-12-3 524940-13-4 524940-14-5

524940-15-6 524940-16-7 524940-17-8

524940-18-9 524940-19-0 524940-20-3

524940-21-4 524940-22-5 524940-23-6

524940-24-7 524940-25-8 524940-26-9

524940-27-0 524940-28-1 524940-29-2

524940-30-5 524940-31-6 524940-32-7

524940-33-8 524940-34-9 524940-35-0

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524940-39-4 524940-40-7 524940-41-8

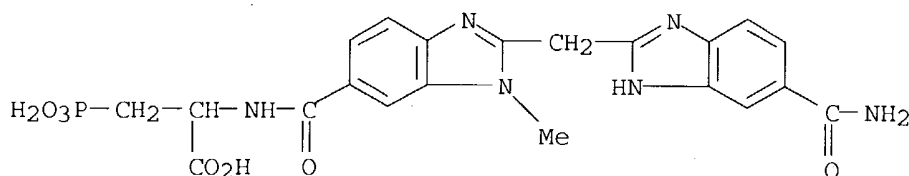
524940-42-9 524940-43-0 524940-44-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

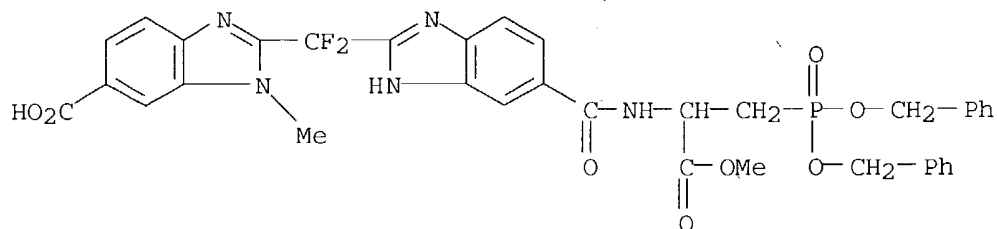
(structure-activity relationship of bisbenzimidazoles as highly potent
non-peptidic inhibitors of hepatitis C virus NS3/NS4A serine protease)

RN 263870-19-5 CAPLUS

CN Alanine, N-[[2-[[5-(aminocarbonyl)-1H-benzimidazol-2-yl]methyl]-1-methyl-
1H-benzimidazol-6-yl]carbonyl]-3-phosphono- (9CI) (CA INDEX NAME)

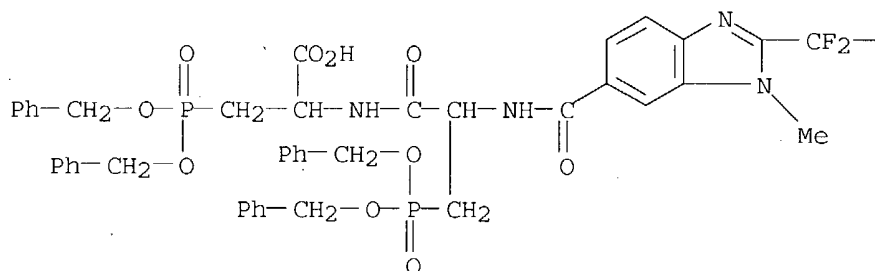


RN 263870-33-3 CAPLUS

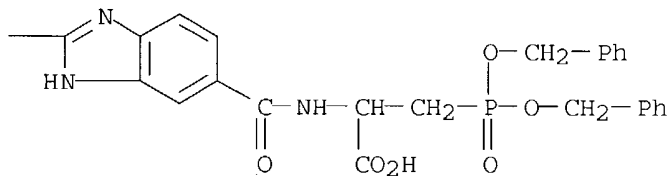


RN 596807-19-1 CAPLUS
 CN Alanine, 3-[bis(phenylmethoxy)phosphinyl]-N-[[2-[[5-[[[2-[bis(phenylmethoxy)phosphinyl]-1-carboxyethyl]amino]carbonyl]-1H-benzimidazol-2-yl]difluoromethyl]-1-methyl-1H-benzimidazol-6-yl]carbonyl]alanyl-3-[bis(phenylmethoxy)phosphinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

44 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:629002 CAPLUS

DOCUMENT NUMBER: 136:31290

TITLE: Structure-activity relationship studies of a bisbenzimidazole-based, Zn²⁺-dependent inhibitor of HCV NS3 serine protease

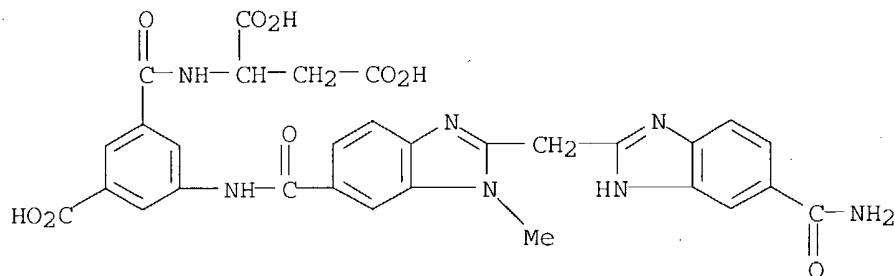
AUTHOR(S): Yeung, K.-S.; Meanwell, N. A.; Qiu, Z.; Hernandez, D.; Zhang, S.; McPhee, F.; Weinheimer, S.; Clark, J. M.; Janc, J. W.

CORPORATE SOURCE: Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, CT, 06492, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(17), 2355-2359

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:241202 CAPLUS

DOCUMENT NUMBER: 132:265195

TITLE: Preparation of bis-benzimidazoles as tryptase inhibitors

INVENTOR(S): Mittendorf, Joachim; Henning, Rolf; Raddatz, Siegfried; Schlemmer, Karl-heinz; Hiraoka, Makiko; Kadono, Hiroshi; Mogi, Muneto; Moriwaki, Toshiya; Murata, Toshiki; Sakakibara, Sachiko; Shimada, Mitsuyuki; Yoshida, Nagahiro; Yoshino, Takashi

PATENT ASSIGNEE(S): Bayer Yakuhin, Ltd., Japan; et al.

SOURCE: PCT Int. Appl., 140 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

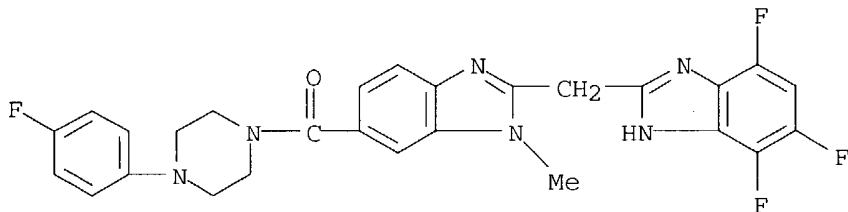
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000020401	A1	20000413	WO 1999-JP5319	19990929
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2346040	AA	20000413	CA 1999-2346040	19990929
AU 9959981	A1	20000426	AU 1999-59981	19990929
EP 1117651	A1	20010725	EP 1999-969935	19990929
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002526537	T2	20020820	JP 2000-574518	19990929
PRIORITY APPLN. INFO.:				
			US 1998-102711P	P 19981001
			US 1999-117269P	P 19990126
			US 1999-123277P	P 19990309
			WO 1999-JP5319	W 19990929

OTHER SOURCE(S): MARPAT 132:265195

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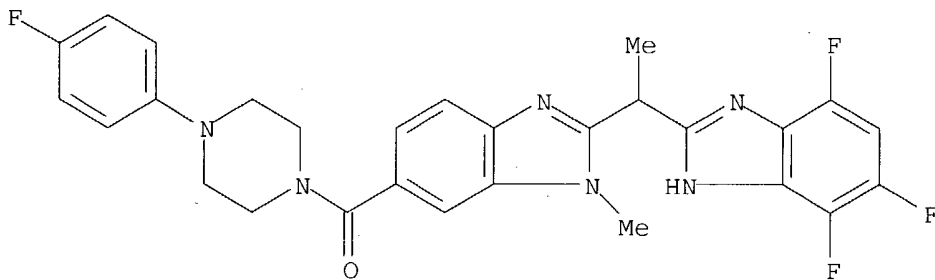
CN Piperazine, 1-(4-fluorophenyl)-4-[[1-methyl-2-[(4,5,7-trifluoro-1H-benzimidazol-2-yl)methyl]-1H-benzimidazol-6-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 263409-67-2 CAPLUS

CN Piperazine, 1-(4-fluorophenyl)-4-[[1-methyl-2-[1-(4,5,7-trifluoro-1H-benzimidazol-2-yl)ethyl]-1H-benzimidazol-6-yl]carbonyl]-, (+)- (9CI) (CA INDEX NAME)

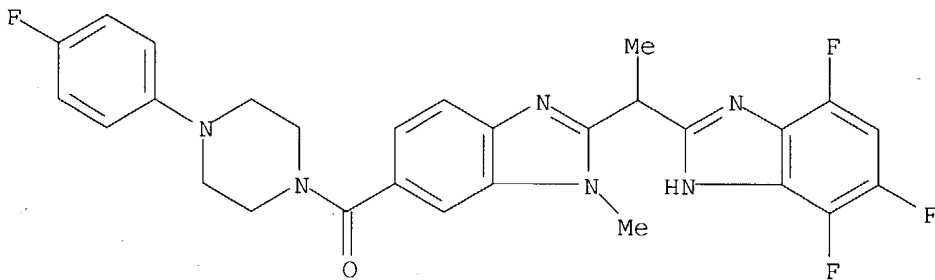
Rotation (+).



RN 263409-68-3 CAPLUS

CN Piperazine, 1-(4-fluorophenyl)-4-[[1-methyl-2-[1-(4,5,7-trifluoro-1H-benzimidazol-2-yl)ethyl]-1H-benzimidazol-6-yl]carbonyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:241200 CAPLUS

DOCUMENT NUMBER: 132:279216

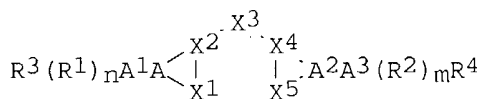
TITLE: Preparation of benzimidazolylcarbonylaminopropionates and related compounds for treating hepatitis C infection.

09/806,335

INVENTOR(S): Hataye, Jason M.; Rice, Kenneth; Shelton, Emma J.;
Spencer, Jeffrey R.; Wang, Vivian R.
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000020400	A1	20000413	WO 1999-US22850	19991004
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

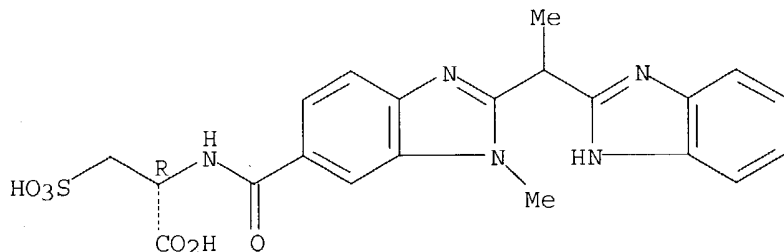
PRIORITY APPLN. INFO.: US 1998-103085P P 19981005
OTHER SOURCE(S): MARPAT 132:279216
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AB Title compds. [I; n = 0-4; m = 0-3; AA1, A2A3 = atoms to form 8-12 membered fused heterobicyclic; X1 = N, NR5, O, S; R5 = H, alkyl; X5 = N, NR6, O, S; R6 = H, (substituted) alkyl; X3 = O, S, SO, SO2, CO, NR8, CR8R9; R8, R9 = H, halo, (substituted) alkyl; R8R9 = alkylene, alkylidene; R1, R2 = alkyl, alkoxy, alkanoyloxy, alkylthio, halo, OH, SH; R3 = cyano, R11, COR11, CO2R11, SOR11, SO2R11, etc.; R4 = R15, OR15, SR15, SOR15, SO2R15, COR15, etc.; R11 = H, (substituted) alkyl, cycloalkyl, aralkyl, etc.; R15 = alkyl substituted with 1-2 of P(O)(OR17)2, SO2OR17 and optionally substituted with 1-2 of CO2R17; R17 = H, alkyl], were prepared Thus, 2-[(5-carbamoyl-1H-benzimidazol-2-ylmethyl)-3-methyl-3H-benzimidazole-5-carboxylic acid (preparation given) in DMF at 0° was treated with PyBroP, diisopropylethylamine, and a DMF solution of 2-amino-3-phosphonopropionic acid tris(trimethylsilyl)ester (prepared in situ) followed by warming to 40° and stirring for 12 h to give 18% 2-[[2-[(5-carbamoyl-1H-benzimidazol-2-ylmethyl)-3-methyl-3H-benzimidazol-5-carbonyl]aminol]phosphonopropionic acid. The latter inhibited HCV NS3 protease with Ki = 0.062 μM.

IT 263870-19-5P 263870-20-8P 263870-21-9P
263870-22-0P 263870-24-2P 263870-25-3P
263870-26-4P 263870-27-5P 263870-28-6P
263870-29-7P 263870-30-0P 263870-31-1P
263870-32-2P 263870-33-3P 263870-34-4P
263870-42-4P 263870-43-5P 263870-44-6P
263870-45-7P 263870-46-8P 263870-47-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazolecarbonylaminopropionates and related compds.)



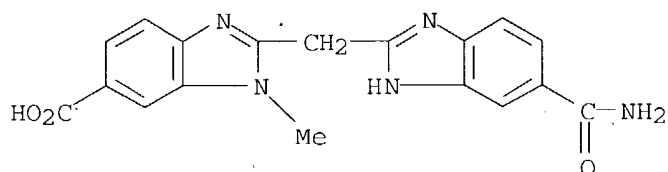
IT 263870-49-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazolecarbonylaminopropionates and related compds. for treating hepatitis C infection)

RN 263870-49-1 CAPLUS

CN 1H-Benzimidazole-6-carboxylic acid, 2-[[5-(aminocarbonyl)-1H-benzimidazol-2-yl]methyl]-1-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:211104 CAPLUS

DOCUMENT NUMBER: 133:39762

TITLE: A Novel Approach to Serine Protease Inhibition: Kinetic Characterization of Inhibitors Whose Potencies and Selectivities Are Dramatically Enhanced by Zinc(II)

AUTHOR(S): Janc, James W.; Clark, James M.; Warne, Robert L.; Elrod, Kyle C.; Katz, Bradley A.; Moore, William R.

CORPORATE SOURCE: Axyx Pharmaceuticals, South San Francisco, CA, 94080, USA

SOURCE: Biochemistry (2000), 39(16), 4792-4800

CODEN: BICHAN; ISSN: 0006-2960

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

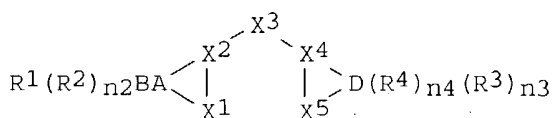
LANGUAGE: English

AB Serine proteases play a role in a variety of disease states and thus are attractive targets for therapeutic intervention. We report the kinetic characterization of a class of serine protease inhibitors whose potencies and selectivities are dramatically enhanced in the presence of Zn(II). The structural basis for Zn(II)-mediated inhibition of trypsin-like proteases has recently been reported [Katz, B. A., Clark, J. M., Finer-Moore, J. S., Jenkins, T. E., Johnson, C. R., Ross, M. J., Luong, C., Moore, W. R., and Stroud, R. M. (1998) Nature 391, 608-612]. A case study of the kinetic behavior of human trypsin inhibitors is provided to illustrate the general phenomenon of Zn(II)-mediated inhibition. Trypsin, Zn(II), and the inhibitor form a ternary complex which exhibits classic tight-binding inhibition. The half-life for release of inhibitor from the trypsin-Zn(II)-inhibitor complex has been measured for a number of

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
 L
 ACCESSION NUMBER: 1999:354488 CAPLUS
 DOCUMENT NUMBER: 131:19005
 TITLE: Preparation of amidinobenzimidazolylheterocycles as anticoagulants.
 INVENTOR(S): Fatheree, Paul R.; Jenkins, Thomas E.; Li, Yong; Linsell, Martin S.; Rai, Roopa; Shrader, William D.; Trapp, Sean G.; Young, Wendy B.
 PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 105 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9926932	A1	19990603	WO 1998-US25216	19981125
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9916071	A1	19990615	AU 1999-16071	19981125
PRIORITY APPLN. INFO.:			US 1997-72654	P 19971126
			WO 1998-US25216	W 19981125
OTHER SOURCE(S):		MARPAT 131:19005		
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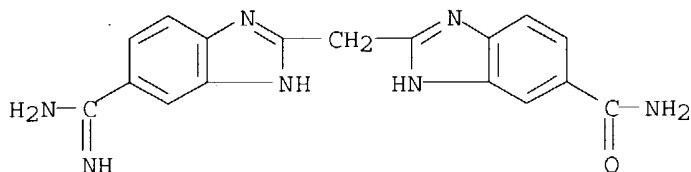
AB Title compds. [I; AB = atoms to form a fused heterobicyclicl; X1, X5 = N, NR5, O, S; R5 = R6, X6R6; X6 = linking group; R6 = H, aryl, cycloalkyl, heteroaryl, heterocycloalkyl, heteropolycycloaryl, polycycloaryl; D = atoms to form a heterocyclyl, heteropolycyclyl; X3 = O, S, CO, NR7, SiR7R8, CR7R8; R7 = H, alkyl, OH; R8 = R6, X6R6; R7 and/or R8 = atoms to form alkylene; R1 = amidino; R2 = H, alkyl, alkoxy, alkylsulfonyl, alkylthio, CO2H, halo, heteroalkyl, OH, SH, NO2; X2, X4 undefined; R3 = H, cyano, halo, NO2, perhaloalkyl, perhaloalkoxy; R4 = R6, X6R6; n2 = 1-3; n3 = 1-4; n4 = 1, 2], were prepared Thus, 3,4-diaminobenzamidine, Et 5,6-difluoro-1H-benzimidazol-2-ylacetate, and polyphosphoric acid were heated for 2.5 h at 165° to give 91% 2-(5,6-difluoro-1H-benzimidazol-2-ylmethyl)-1H-benzimidazole-5-carboxamide. The latter inhibited human Factor Xa with Ki = 0.0008 µM.

IT 226574-46-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

09/806,335

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinobenzimidazolylheterocycles as anticoagulants)
RN 226574-46-5 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[[5-(aminoiminomethyl)-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:682372 CAPLUS

DOCUMENT NUMBER: 129:316232

TITLE: Preparation of compounds and compositions for treating diseases associated with serine protease, particularly tryptase, activity

INVENTOR(S): Church, Timothy J.; Cutshall, Neil Scott; Gangloff, Anthony R.; Jenkins, Thomas E.; Linsell, Martin S.; Litvak, Joane; Rice, Kenneth D.; Spencer, Jeffrey R.; Wang, Vivian R.

PATENT ASSIGNEE(S): Axys Pharmaceuticals Corporation, USA

SOURCE: PCT Int. Appl., 108 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9845275	A1	19981015	WO 1997-US21849	19971201
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9858950	A1	19981030	AU 1998-58950	19971201
AU 752064	B2	20020905		
CN 1251579	A	20000426	CN 1997-182098	19971201
EE 9900477	A	20000615	EE 1999-477	19971201
EE 4055	B1	20030616		
EP 1019382	A1	20000719	EP 1997-954520	19971201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
NZ 500029	A	20010223	NZ 1997-500029	19971201
JP 2001519806	T2	20011023	JP 1998-542739	19971201
MX 9909006	A	20000831	MX 1999-9006	19991001
NO 9904858	A	19991206	NO 1999-4858	19991006
LV 12495	B	20010120	LV 1999-153	19991102
LT 4704	B	20000925	LT 1999-131	19991105
US 2001053779	A1	20011220	US 2001-874412	20010604

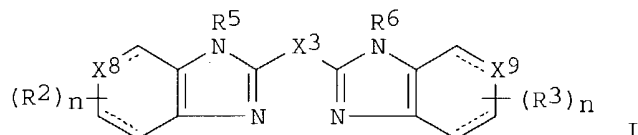
09/806,335

US 6562854
US 2003212120
PRIORITY APPLN. INFO.:

B2 20030513
A1 20031113

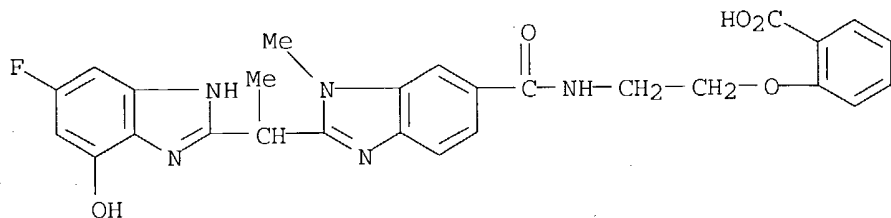
US 2003-401415 20030314
US 1997-833674 A 19970407
US 1994-357491 B2 19941214
US 1997-980515 A1 19971201
WO 1997-US21849 W 19971201
US 2001-874412 A1 20010604

OTHER SOURCE(S): CASREACT 129:316232; MARPAT 129:316232
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- AB A preferred aspect of the invention are compds. of Formula [I; in which: the dashed lines independently represent optional bonds; each R2 independently is (C1-6)alkyl, (C1-6)alkyloxy, halo or hydroxy; each R3 independently is (C1-6)alkyl, (C1-6)alkyloxy, halo or hydroxy; X3 is -C(O)- or -CR7R8-, X8 is -CH(R1)n1- or -C(R1)n1=, wherein R1 is amino(N1-4)azolidinyl, amino(N1-4)azolyl, (N1-4)azolidinyl, (N1-4)azolyl, etc.; X8 is -N= or -NH(R1)n1-, wherein R1 is -C(NR9)R9, -C(NH)NHR10 or -C(NH)NR10R10, wherein R9 independently is hydrogen or (C1-6)alkyl and each R10 independently is (C1-6)alkyl; and X9 is -CH(R4)- or -C(R4)=, wherein R4 is -R12, -OR12, -N(R13)R12, etc.; wherein R4 is -C(O)R12, -C(O)OR12, -C(O)N(R13)R12, etc.; R12 is cyano, guanidino, halo, alkyl, etc.; R13 is hydrogen, alkyl; R5 is hydrogen or (C1-4)alkyl, R6 is hydrogen or (C1-4)alkyl; R7 is hydrogen, methyl; R8 is hydrogen Me, hydroxy; n = 0-4]. The compds., compns. and methods are effective for the prevention and treatment of inflammatory diseases associated with the respiratory tract, such as asthma and allergic rhinitis, as well as other types of immunomediated inflammatory disorders, such as rheumatoid arthritis, conjunctivitis and inflammatory bowel disease, various dermatol. conditions, as well as certain viral conditions. The compds. comprise potent and selective inhibitors of the mast-cell protease tryptase. The compns. for treating these conditions include oral, inhalant, topical and parenteral preps. as well as devices comprising such preps.
- IT **214779-60-9P 214780-02-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of arenoimidazoles for treating human inflammatory disorder)
- RN 214779-60-9 CAPLUS
- CN Benzoic acid, 2-[2-[[[2-[1-(5-hydroxy-1H-benzimidazol-2-yl)ethyl]-1-methyl-1H-benzimidazol-6-yl]carbonyl]amino]ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)



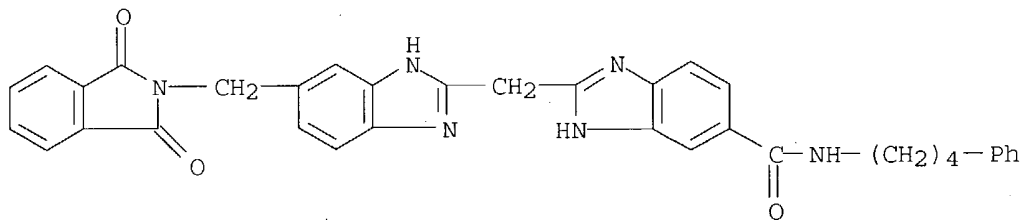
IT 214781-97-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arenoimidazoles for treating human inflammatory disorder)

RN 214781-97-2 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2-[[5-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]-1H-benzimidazol-2-yl)methyl]-N-(4-phenylbutyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:712073 CAPLUS

DOCUMENT NUMBER: 123:112063

TITLE: preparation of bis(amidinobenzimidazolyl)alkanes as antiviral agents

INVENTOR(S): Cleary, Darryl Gene; Cory, Michael; Sherman, Paula Ann

PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

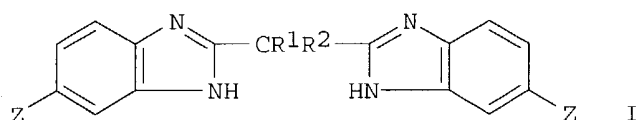
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9508540	A1	19950330	WO 1994-GB2051	19940921
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9476615	A1	19950410	AU 1994-76615	19940921
HU 71345	A2	19951128	HU 1995-1811	19940921
ZA 9407352	A	19960322	ZA 1994-7352	19940921
EP 720603	A1	19960710	EP 1994-927000	19940921

09/806,335

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
JP 09506335 T2 19970624 JP 1994-509643 19940921
PRIORITY APPLN. INFO.: US 1993-125466 19930922
US 1994-232915 19940425
WO 1994-GB2051 19940921

OTHER SOURCE(S): MARPAT 123:112063
GI



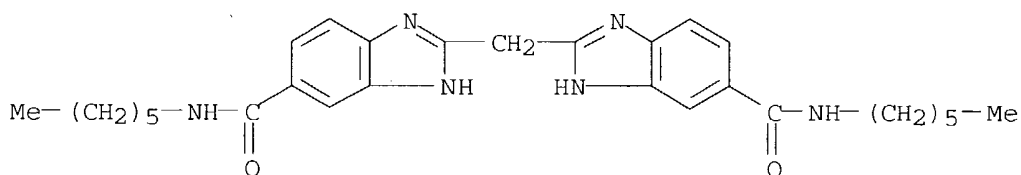
AB Title compds. I (R, R1 = H, F, HO, H2N, C1-6 alkoxy, C1-6 alkyl, C3-7 cycloalkyl, C2-6 alkenyl, Ph, Ph-C1-6 alkyl; Z = (substituted)heterocyclyl, substituted amidino, substituted amino, etc.) or a salt thereof, are prepared Et 4-amino-3-nitrobenzimidate (prepn given) and hexylamine were refluxed to give 3,4-diamino-N'-hexylbenzamidine, which was treated with di-Et malonimidate to give I (R = R1 = H, Z n-hexylcarboxamido) (II). In an HIV assay the IC50 of II was 2.6 μ M. Pharmaceutical formulations comprising I are given.

IT 165596-09-8P 165596-11-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bis(amidinobenzimidazolyl)alkanes as antiviral agents)

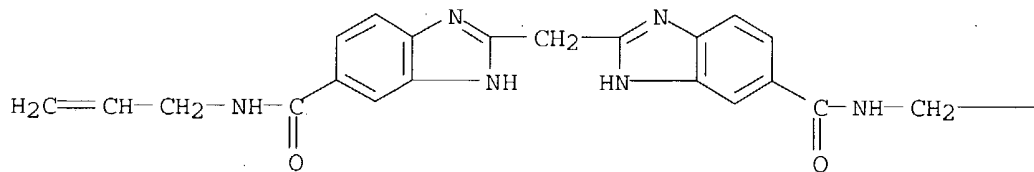
RN 165596-09-8 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2,2'-methylenebis[N-hexyl- (9CI) (CA INDEX NAME)

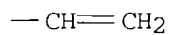


RN 165596-11-2 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2,2'-methylenebis[N-2-propenyl- (9CI) (CA INDEX NAME)



PAGE 1-A



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(FILE 'HOME' ENTERED AT 12:23:12 ON 01 NOV 2004)

FILE 'REGISTRY' ENTERED AT 12:23:30 ON 01 NOV 2004

L1 STRUCTURE UPLOADED

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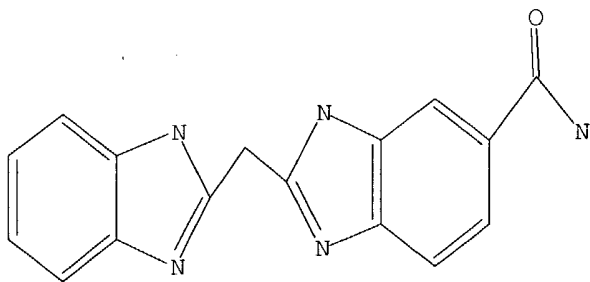
FILE 'CAPLUS' ENTERED AT 12:24:10 ON 01 NOV 2004

L4 8 S L3

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L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=>

Day : Monday
Date: 11/1/2004
Time: 12:33:01

PALM INTRANET

Inventor Name Search Result

Your Search was:

Last Name = MITTENDORF

First Name = JOACHIM

Application#	Patent#	Status	Date Filed	Title	Inventor
60123277	Not Issued	159	03/09/1999	NEW BIS-BENZIMIDAZOLES	MITTENDORF, JOACHIM
60117269	Not Issued	159	01/26/1999	NEW BIS-BENZIMIDAZOLES	MITTENDORF, JOACHIM
60102711	Not Issued	159	10/01/1998	NEW BIS-BENZIMIDAZOLES	MITTENDORF, JOACHIM
10225823	6727279	150	08/21/2002	NOVEL ARYLSULPHONAMIDES AND ANALOGUES	MITTENDORF, JOACHIM
09906296	6649618	150	07/16/2001	SUBSTITUTED AMIDOALKYL-URACILS AND THEIR USE	MITTENDORF, JOACHIM
09878392	6573278	150	06/11/2001	ARYL SULFONAMIDES AND ANALOGUES THEREOF AND THEIR USE IN THE TREATMENT OF NEURODEGENERATIVE DISEASES	MITTENDORF, JOACHIM
09867021	6525087	150	05/29/2001	USE OF KNOWN AGONISTS OF THE CENTRAL CANNABINOID RECEPTOR CB1	MITTENDORF, JOACHIM
09806335	Not Issued	030	06/04/2001	BIS-BENZIMIDAZOLES	MITTENDORF, JOACHIM
09763215	6469054	150	02/16/2001	NOVEL ARYL SULPHONAMIDES AND ANALOGUES	MITTENDORF, JOACHIM
09763196	6545050	150	02/16/2001	NOVEL ARYL SULPHONAMIDE AMINO ACID ESTERS AND ANALOGUES	MITTENDORF, JOACHIM
09367456	6262112	150	11/15/1999	ARYL SULFONAMIDES AND ANALOGUES THEREOF AND THEIR USE IN THE TREATMENT OF NEURODEGENERATIVE DISEASES	MITTENDORF, JOACHIM
09282478	Not Issued	163	03/31/1999	TOLERABILITY OF PHARMACEUTICALLY ACTIVE BETA-AMINO ACIDS	MITTENDORF, JOACHIM
09276220	RE36607	150	03/25/1999	PYRROLIDINE COMPOUNDS AND PROCESS OF PREPARING	MITTENDORF, JOACHIM
09158428	5910593	150	09/21/1998	NEW HIGHLY SELECTIVE PROCESS FOR THE PREPARATION OF	MITTENDORF, JOACHIM

				ENANTIOMERICALLY PURE PHENYLSUBSTITUTED 1,4-DIHYDROPYRIDINE-3,5-DICARBOXYLIC ACID DERIVATIVES	
<u>09024590</u>	<u>6284788</u>	150	02/17/1998	USE OF KNOWN AGONISTS OF THE CENTRAL CANNABINOID RECEPTOR CB1	MITTI , JOAC
<u>08896275</u>	<u>5849924</u>	150	07/16/1997	NEW HIGHLY SELECTIVE PROCESS FOR THE PREPARATION OF ENANTIOMERICALLY PURE PHENYL-SUBSTITUTED 1,4-DIHYDROPYRIDINE-3,5-DICARBOXYLIC ACID DERIVATIVES	MITTI , JOAC
<u>08843102</u>	<u>5877343</u>	150	04/25/1997	NEW EFFICIENT AND HIGHLY ENANTIOSELECTIVE PROCESS FOR THE PREPARATION OF ENANTIOMERICALLY PURE CYCLOPENTANE-BETA-AMINO ACIDS-	MITTI , JOAC
<u>08709073</u>	<u>5770622</u>	150	09/06/1996	METHOD OF PRESERVING MATERIALS USING 4-METHYLENE-2-AMINO-CYCLOPENTANE- 1-CARBOXYLIC ACIDS	MITTI , JOAC
<u>08679038</u>	<u>5663150</u>	150	07/12/1996	CYCLOPENTANE-BETA-AMINO ACID TRYPEPTIDES	MITTI , JOAC
<u>08679027</u>	<u>5935988</u>	150	07/12/1996	IMPROVING THE TOLERABILITY OF PHARMACEUTICALLY ACTIVE (BETA)-AMINO ACIDS	MITTI , JOAC
<u>08666492</u>	<u>5962724</u>	150	07/05/1996	NOVEL HIGH ENANTIO-SELECTIVE PROCESS FOR PRODUCING PURE ENANTIOMERIC CYCLOPENTANE AND CYCLOPENTANE-(BETA)-AMINO ACIDS	MITTI , JOAC
<u>08663160</u>	<u>5767137</u>	250	08/01/1996	1,3,2-BENZODITHIAZOL-1-OXIDES AS MICROBIOCIDES	MITTI , JOAC
<u>08563725</u>	<u>5700948</u>	150	11/28/1995	PYRROLIDINE COMPOUNDS AND PROCESS OF PREPARING	MITTI , JOAC
<u>08336584</u>	<u>5631291</u>	150	11/09/1994	CYCLOPENTANE- AND -PENTENE-BETA-AMINO ACIDS	MITTI , JOAC
<u>08308873</u>	<u>5739160</u>	150	09/19/1994	CYCLOPENTANE- AND -PENTENE-BETA-AMINO ACIDS	MITTI , JOAC
<u>08189214</u>	Not Issued	161	01/31/1994	NEW SUBSTITUTED 2,3,-DIHYDROPYRANS, PROCESS FOR THEIR PREPARATION AND THEIR USE AS MEDICAMENTS	MITTI , JOAC
<u>08066751</u>	Not Issued	166	05/21/1993	CYCLOPENTANE- AND -PENTENE-BETA-AMINO ACIDS	MITTI , JOAC
<u>07959845</u>	Not Issued	161	10/13/1992	NEW SUBSTITUTED 2,3-DIHYDROPYRANS, PROCESS FOR THEIR PREPARATION AND THEIR USE AS MEDICAMENTS	MITTI , JOAC

<u>07959838</u>	<u>5276169</u>	150	10/13/1992	ANTIMYCOTIC CARBONYL-AND AMINO-SUBSTITUTED TETRAHYDROFURAN	MITTI , JOAC
<u>07959824</u>	Not Issued	161	10/13/1992	USE OF SUBSTITUTED PYRROLIDINES, SOME OF WHICH ARE KNOWN, AS MEDICAMENTS, NEW ACTIVE SUBSTANCES AND PROCESSES FOR THEIR PREPARATION	MITTI , JOAC
<u>07958852</u>	<u>5321042</u>	150	10/08/1992	USE OF SUBSTITUTED TETRAHYDROTHIOPHENES, SOME OF WHICH ARE KNOWN, AS MEDICAMENTS, NEW ACTIVE SUBSTANCES AND PROCESSES FOR THEIR PREPARATION	MITTI , JOAC
<u>07934058</u>	Not Issued	161	08/21/1992	NOVEL SUBSTITUTED 2,3-DIAMINO ACIDS, PROCESSES FOR THEIR PREPARATION AND THEIR USE AS INTERMEDIATES FOR PEPTIDE ACTIVE SUBSTANCES	MITTI , JOAC

Inventor Search Completed: No Records to Display.

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Joachim

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